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LOGINID:SSPTASXY1626

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TERMINAL (ENTER 1, 2, 3, OR ?):2

***** * Welcome to STN International * *****

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 JUN 06 EPFULL enhanced with 260,000 English abstracts
NEWS 3 JUN 06 KOREPAT updated with 41,000 documents
NEWS 4 JUN 13 USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS 5 JUN 19 CAS REGISTRY includes selected substances from web-based collections
NEWS 6 JUN 25 CA/Cplus and USPAT databases updated with IPC reclassification data
NEWS 7 JUN 30 AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS 8 JUN 30 EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS 9 JUN 30 STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS 10 JUN 30 STN AnaVist enhanced with database content from EPFULL
NEWS 11 JUL 28 CA/Cplus patent coverage enhanced
NEWS 12 JUL 28 EPFULL enhanced with additional legal status information from the epoline Register
NEWS 13 JUL 28 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 14 JUL 28 STN Viewer performance improved
NEWS 15 AUG 01 INFADOCDB and INFAFAMDB coverage enhanced
NEWS 16 AUG 13 CA/Cplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS 17 AUG 15 CAOLD to be discontinued on December 31, 2008
NEWS 18 AUG 15 Cplus currency for Korean patents enhanced
NEWS 19 AUG 27 CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS 20 SEP 18 Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS 21 SEP 25 CA/Cplus current-awareness alert options enhanced to accommodate supplemental CAS indexing of exemplified prophetic substances
NEWS 22 SEP 26 WPIDS, WPINDEX, and WPIX coverage of Chinese and and Korean patents enhanced
NEWS 23 SEP 29 IFICLS enhanced with new super search field
NEWS 24 SEP 29 EMBASE and EMBAL enhanced with new search and display fields
NEWS 25 SEP 30 CAS patent coverage enhanced to include exemplified

prophetic substances identified in new Japanese-language patents
NEWS 26 OCT 07 EPFULL enhanced with full implementation of EPC2000
NEWS 27 OCT 07 Multiple databases enhanced for more flexible patent number searching
NEWS 28 OCT 22 Current-awareness alert (SDI) setup and editing enhanced
NEWS 29 OCT 22 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 10:33:37 ON 23 OCT 2008

=> file reg
COST IN U.S. DOLLARS
SINCE FILE
ENTRY
SESSION
TOTAL
0.21 0.21

FILE 'REGISTRY' ENTERED AT 10:33:52 ON 23 OCT 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 OCT 2008 HIGHEST BN 1064205-90-8

Digitized by srujanika@gmail.com

For GHS information see section(s), other HSE consultancies for details.

1998 INFORMATION NOT CURRENT THROUGH JULY 3, 2000

Please note that certain term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes commercially purchased data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

23/10/2008, 10580638.trn

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Program Files\Stnexp\Queries\10580638product.str

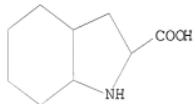


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10
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
8-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
6-9 8-9
exact bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 7-8 8-10
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS

L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11
SAMPLE SEARCH INITIATED 10:37:17 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 226 TO ITERATE

100.0% PROCESSED 226 ITERATIONS
SEARCH TIME: 00.00.01

4 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 3619 TO 5421
 PROJECTED ANSWERS: 4 TO 200

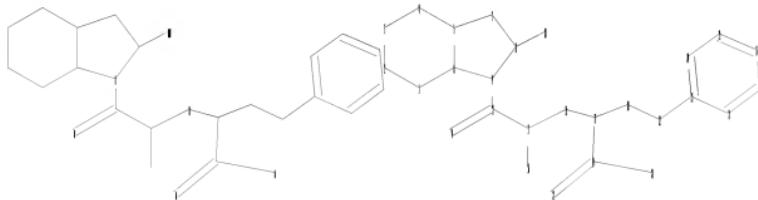
L2 4 SEA SSS SAM L1

=> s l1 full
 FULL SEARCH INITIATED 10:37:21 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 4480 TO ITERATE

100.0% PROCESSED 4480 ITERATIONS 88 ANSWERS
 SEARCH TIME: 00.00.01

L3 88 SEA SSS FUL L1

=>
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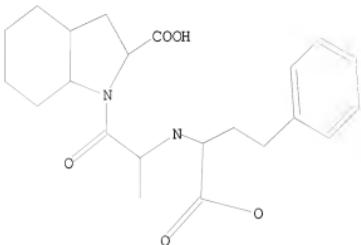
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 ring nodes :
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 8-10 9-12 12-13 12-14 13-15 13-16 15-17 17-18 17-19 18-22 19-20 19-21
 22-23
 ring bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 23-24 23-28 24-25 25-26 26-27
 27-28
 exact/norm bonds :
 6-9 8-9 9-12 12-14 13-15 15-17 19-20 19-21
 exact bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 5-7 7-8 8-10 12-13 13-16 17-18 17-19 18-22
 22-23
 normalized bonds :
 23-24 23-28 24-25 25-26 26-27 27-28
 isolated ring systems :
 containing 1 :

Match level :
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
 20:CLASS 21:CLASS 22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom

23/10/2008, 10580638.trn

L4 STRUCTURE UPLOADED

=> d 14
L4 HAS NO ANSWERS
L4 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 14
SAMPLE SEARCH INITIATED 10:37:55 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 222 TO ITERATE

100.0% PROCESSED 222 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3547 TO 5333
PROJECTED ANSWERS: 6 TO 266

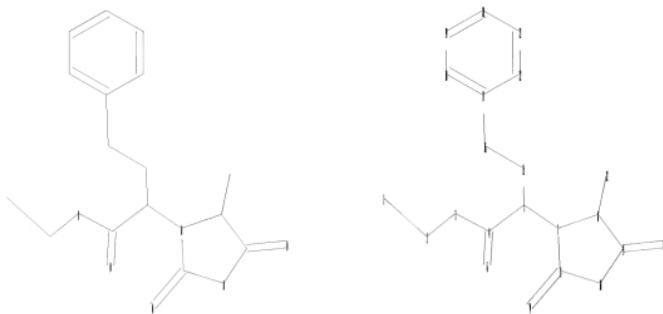
L5 6 SEA SSS SAM L4

=> s 14 full
FULL SEARCH INITIATED 10:37:59 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4194 TO ITERATE

100.0% PROCESSED 4194 ITERATIONS 126 ANSWERS
SEARCH TIME: 00.00.01

L6 126 SEA SSS FUL L4

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Uploading C:\Program Files\Stnexp\Queries\10580638reactant2.str

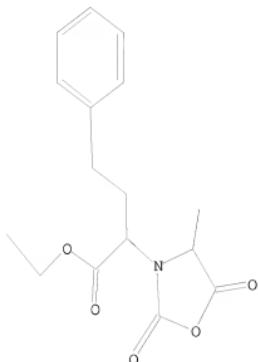


chain nodes :
1 2 3 4 5 6 12 13 14 15 16
ring nodes :
7 8 9 10 11 17 18 19 20 21 22
chain bonds :
1-2 2-3 3-4 4-5 4-6 5-7 5-15 8-12 9-13 11-14 15-16 16-17
ring bonds :
7-8 7-11 8-9 9-10 10-11 17-18 17-22 18-19 19-20 20-21 21-22
exact/norm bonds :
2-3 3-4 4-6 5-7 7-8 7-11 8-9 9-10 9-13 10-11 11-14
exact bonds :
1-2 4-5 5-15 8-12 15-16 16-17
normalized bonds :
17-18 17-22 18-19 19-20 20-21 21-22

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:Atom 8:Atom 9:Atom
10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom
18:Atom 19:Atom 20:Atom 21:Atom 22:Atom

L7 STRUCTURE UPLOADED

=> d 17
L7 HAS NO ANSWERS
L7 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 17
 SAMPLE SEARCH INITIATED 10:39:01 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 4 TO ITERATE

100.0% PROCESSED 4 ITERATIONS 0 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 4 TO 200
 PROJECTED ANSWERS: 0 TO 0

L8 0 SEA SSS SAM L7

=> s 17 full
 FULL SEARCH INITIATED 10:39:05 FILE 'REGISTRY'
 FULL SCREEN SEARCH COMPLETED - 85 TO ITERATE

100.0% PROCESSED 85 ITERATIONS 9 ANSWERS
 SEARCH TIME: 00.00.01

L9 9 SEA SSS FUL L7

=> file hcplus			
COST IN U.S. DOLLARS	SINCE FILE	TOTAL	
FULL ESTIMATED COST	ENTRY	SESSION	
	538.30	538.51	

FILE 'HCPLUS' ENTERED AT 10:39:34 ON 23 OCT 2008
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FILE COVERS 1907 - 23 Oct 2008 VOL 149 ISS 17
FILE LAST UPDATED: 22 Oct 2008 (20081022/ED)

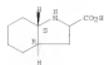
HCplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

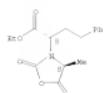
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=> s 16/P and 13/ract and 19/ract
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      139 L3
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      107 L3/RACT
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      54 L9
      3172834 RACT/RL
      44 L9/RACT
          (L9 (L) RACT/RL)
L10      9 L6/P AND L3/RACT AND L9/RACT
=> d ed abs ibib hitstr tot
```


L10 ANSWER 3 OF 9 MCAPLUS COPYRIGHT 2009 ACS on STN (Continued)



- IT 841437-4-0 Ph-[1-(2-(Ethoxycarbonyl)-3-phenylpropoxy)-1-alkanone
KL: RCT (Reagent); SPM (Synthetic preparation); PEP (Preparation);
RKC (Reactant or reagent); [preparation of trandolapril intermediate from ethoxycarbonylpropylalanine
H-carboxyhydride and octahydronaphthalene carboxylic acid])
PH 841437-4-0 Ph-[1-(2-(Ethoxycarbonyl)-3-phenylpropoxy)-1-alkanone
CL: 3-Carboxyidihydro-4-oxo-4-methyl-2,5-dioxo- α -(2-phenylethyl)-
ethyl ester, (4R,6S)- (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 4 OF 9 MCAPLUS COPYRIGHT 2009 ACS on STN
ED Notated STN 13 Apr 2006
AS Trandolapril intermediate (2S,3aR,7aS)-perhydroindole-2-carboxylic acid was prepared by a procedure which involved reaction of (2S,3aR,7aS)-perhydroindole-2-carboxylic acid with an alco. in the presence of an acid, reacting the acid addition salt with a base and then dibenzoyle-L-tartaric acid or di-p-tolyl-L-tartaric acid and at least one alco., followed by addition of a second acid and hydrolysis.

(2S,3aR,7aS)-perhydroindole-2-carboxylic acid prepared by this method was used to prepare trandolapril.

ACCESSION NUMBER: 144135983 DOCUMENT NUMBER: 144135983

TITLE/4: (2S,3aR,7aS)-perhydroindole-2-carboxylic acid

INVENTOR(S): Renu, Sudhevarappa Pottekkat; Roshni, Arjun Rajan

PATENT ASSIGNEE(S): Glenmark Pharmaceuticals Limited, India

DOCUMENT TYPE: Patent PCT Appl. Publ. 19 pp.

CODE: 08200 DATE: 20050415

DOCUMENT NUMBER: US2004016934P F 20041007

PRIORITY APPL. INFO.: US 2004016934P F 20041007

US 2004-616933P F 20041007

OTHER SOURCE(S): CASREG 144135983; MARPAT 144135983

IT 145435-94-4P KL: RCT (Reagent); SPM (Synthetic preparation); PEP (Preparation); RKC (Reactant or reagent); [preparation of perhydroindolecarboxylic acid intermediate in synthesis of trandolapril]

PH 145435-94-4 MCAPLUS

CL: Indole-2-carboxylic acid, octahydro-, (2S,3aR,7aS)- (CA INDEX NAME)

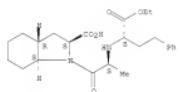
Absolute stereochemistry. Rotation (-).



L10 ANSWER 4 OF 9 MCAPLUS COPYRIGHT 2009 ACS on STN (Continued)

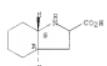
- IT 8779-37-8P Trandolapril
KL: RCT (Reagent); SPM (Synthetic preparation); PEP (Preparation);
RKC (Reactant or reagent); [preparation of perhydroindolecarboxylic acid intermediate in synthesis of trandolapril]
PH 8779-37-8 MCAPLUS
CL: Indole-2-carboxylic acid, 1-[(2S-2-[(1S)-1-(ethoxycarbonyl)-3-phenylpropoxy]amino]-1-oxopropano-, (2S,3aR,7aS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



- IT 881437-51-6
KL: RCT (Reagent); RKC (Reactant or reagent); [preparation of perhydroindolecarboxylic acid intermediate in synthesis of trandolapril]
PH 881437-51-6 MCAPLUS
CL: Indole-2-carboxylic acid, octahydro-, (3aR,7aS)- (CA INDEX NAME)

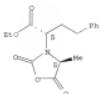
Absolute stereochemistry.



- IT 841437-34-8P
KL: RCT (Reagent); SPM (Synthetic preparation); PEP (Preparation); RKC (Reactant or reagent); [preparation of perhydroindolecarboxylic acid intermediate in synthesis of trandolapril]
PH 841437-34-8 MCAPLUS
CL: 3-Carboxyidihydro-4-oxo-4-methyl-2,5-dioxo- α -(2-phenylethyl)-ethyl ester, (4R,6S)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 4 OF 9 MCAPLUS COPYRIGHT 2009 ACS on STN (Continued)



LIC-ADMIN 5 OF 9 NCAPUS COPYRIGHT 2005 ACS ON STN
 Entered STN 17 Jan 2005
 2-(*D*-glucopyranosyl)-10-(*S*,*R*)-*o*-octahydro-1*h*-indole-2-carboxylic acid (or its C-protected derivative, or salt) was prepared by reacting a cyclohexyl aziridine with a dialkyl maleate to form a trans-fused 3-azabicyclo[3.1.0]hex-2-ene derivative. Subsequent hydrolysis, conversion of a *o*-NO₂ group to an optionally protected carboxylic acid group, and removal of any N-alkylation. Examples illustrate the synthesis of 2-(*D*-glucopyranosyl)-10-(*S*,*R*)-*o*-octahydro-1*h*-indole-2-carboxylic acid with chloramine-T
 ACCESSION NUMBER: 20051342018 NCAPUS/05
 DOCUMENT NUMBER:
 TITLE: A method for the preparation of
 2-(*D*-glucopyranosyl)-10-(*S*,*R*)-*o*-octahydro-1*h*-indole-2-carboxylic acid as
 an intermediate in the preparation of trandegargin
 by
 reacting a cyclohexyl aziridine with a dialkyl
 maleate.
 INVESTIGATOR(S):
 DR. CHRISTIAN ANGHELESCU
 INSTITUTE: Institut für Biologie und Medizinische
 Technik, Universität Regensburg, Liechtensteinstr.
 1, D-9304 Regensburg, Germany
 PCT INT. Appl.: 34 pp.
 CODES: PIKAN
 DOCUMENT TYPE:
 LANGUAGE: English
 FAMILY ACC.: HEN, COUNT: 1
 PARENT: 13996612001

L10 ANSWER 5 OF 9 RCAPLUS COPYRIGHT 2008 ACS on 879 (Continued)
NAME

Relative stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

110 ANSWER 5 OF 9 NCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

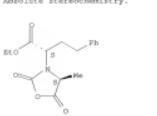
malonate)
 RR 87679-37-6 HCAPLOS
 CII 1H-Indole-2-carboxylic acid, 1-[(2S)-2-[(1S)-1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-exopropyl)octahydro-, (2S,3aR,7aS)- ICA INDEX
 NAMES)

Absolute stereochemistry: Rotation (-).



17 84793-24-8
Eli Lilly (Reactant); EACT (Reactant or reagent)
(preparation of octahydroindolecarboxylic acid as key intermediate
synthesis of trandolapril by reacting cyclohexyl aziridine with
dialkyl malonate)

ethyl ether, (48, 48)



17 87679-58-1P
Elt ECT (Desactant); BPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
[preparation of octahydroindolecarboxylic acid as key intermediate
synthesis of trandolapril by reacting cycloalkine aziridine with
dialkyl malonate]
18 87679-58-1, 87679-09-0

110 ANSWER 6 OF 9 RCAP10E COPYRIGHT 2008 ACS on STN

ED Entered STN: 10 Jun 2005
AS The invention relates to a method for producing optionally substituted [N-(1-(5-carboxy-3-phenylpropyl)-5'-alanyl-2S,3R,
7S,8S-octahydroindol-2-carboxylic acid] and the pharmaceutically acceptable salts thereof. To this end, a racemic mixture of optionally substituted
trans-octahydroindol-2-carboxylic acid is reacted with the
l-alanoylazide.

7aS-octahydroindole-2-carboxylic acid, preferably transdolapril, is subsequently isolated, as well as polymorphous forms A and B of transdolapril.

TITLE: Method for producing
(N-[1-(8-carboxy-3-phenylpropyl)-8-alanyl-2S,
BaR,
7aS-octahydroindolin-2-carboxylic acid compounds
especially trandolapril via their racemic salts
INVENTOR(S): Poorter, Mirko; Rudolf, Felix; Bachsel, Hans-Günther
Bader, Thomas

PATENT ASSIGNEE(S): Arad Pharmaceuticals Ingredients A.-G., Switz.
SOURCE: PCT Int. Appl., 37 pp.
COPEN: PIKAD2
DOCUMENT TYPE: Patent
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051909	A1	20050609	WO 2004-C6699	20041125

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CN, CO, CR, CU, CS, DE, DK, DM, DE, EC, EE, EG, ES, FI, GB, GD,
GE, GS, GR, HR, HU, ID, IL, IN, IS, JP, KE, MG, KP, KR, NZ, LG

LN, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MR, MU, NY, NZ, NA, NJ, NO, NZ, CN, PG, PH, PL, PT, EO, EO, SC, SD, SE, SG, SN, SL, SY, SW TJ, TM, TR, TT, TE, US, US, US, UZ, VC, VI, YU, ZA, ZN, ZW
RM: IR, GR, GM, KE, LS, MM, ME, NA, SD, SL, TI, OG, OG, OM, EW, JAN, AE, YE, EG, ER, MD, MN, TJ, TW, AT, BE, BE, CH, CY, CS, DE, DK, E

EE, ES, FL, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR, BP, BE, CF, CG, CI, CN, GA, GN, GG, GW, ML, MR,
ME, SN, TD, TG

EP 1659711 A1 20040516 EP 2004-79745 20041115
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 JP 2007512240 T 20070517 JP 2006-540130 20041115
 IN 2006IN01385 A 20070504 IN 2006-IN01385 20060527
 US 2007135513 A1 20070614 US 2007-549538 20070929
 PRIORITY APPLN. INFO.: CH 2003-2938 A 20031128

17 876-79-5-1
RL: RCT (Reactant); RACT (Reactant or reagent)
method for producing
18-[1-(S)-carboxy-3-phenylpropyl]-8-alanyl-28,

L10 ANSWER 6 OF 9 MCAPLUS COPYRIGHT 2008 ACS on STN (Continued)
 3aX, 7aS-octahydroindol-2-carboxylic acid) enopis, esp. transdolapril
 via their racemic salts)
 ED 87725-72-2 MCAPLUS
 CH 1H-Indole-2-carboxylic acid, octahydro-, (2S,3aS,7aR)-rel- (CA INDEX NAMES)

Absolute stereochemistry.



IT 84732-24-8 MCAPLUS
 ED 87725-72-2 MCAPLUS (Synthetic preparation); PEP (Preparation);
 ABS (Absolute stereochemistry);
 Method for producing
 (1R-(1S)-carboxalkyl-3-phenylpropyl)-5-alanyl-2S;
 (1R-(1S)-carboxalkyl-3-phenylpropyl)-5-alanyl-2S; and
 (1R-(1S)-carboxalkyl-3-phenylpropyl)-5-alanyl-2S compds. especially
 transdolapril
 via their RACEMIC salts)
 ED 84732-24-8 MCAPLUS
 CH 3-Oxidoindinacetic acid, 4-methyl-2,5-dioxo-a-(2-phenylethyl)-,
 ethyl ester, (4S,6S)- (CA INDEX NAMES)

Absolute stereochemistry.

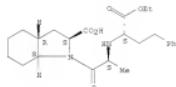


ED 87725-72-2 MCAPLUS
 CH 1H-Indole-2-carboxylic acid, 1-[[2S]-2-[(1S)-1-(ethoxycarbonyl)-3-
 phenylpropyl]amino]-, monohydrochloride, (2S,3aS,7aS)- (CA INDEX NAMES)

Absolute stereochemistry. Rotation (-).

L10 ANSWER 6 OF 9 MCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry. Rotation (-).



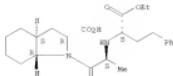
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L10 ANSWER 6 OF 9 MCAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CH 1H-Indole-2-carboxylic acid, octahydro-, (2S,3aS,7aR)-rel- (CA INDEX NAMES)
 HCl
 ● HCl

IT 852521-57-4P
 ED 87725-72-2 MCAPLUS (Synthetic preparation); PEP (Preparation);
 ABS (Absolute stereochemistry);
 Method for producing
 (1R-(1S)-carboxalkyl-3-phenylpropyl)-5-alanyl-2S;
 3aX, 7aS-octahydroindol-2-carboxylic acid) compds. especially
 transdolapril
 via their RACEMIC salts)
 ED 852521-57-4P MCAPLUS
 CH 1H-Indole-2-carboxylic acid, 1-[(2S)-1-(ethoxycarbonyl)-3-
 phenylpropyl]amino-1-oxopropyl)octahydro-, (2S,3aS,7aS)- (CA INDEX
 NAMES)

Absolute stereochemistry.



IT 87679-37-4P. Transdolapril
 ED 87725-72-2 MCAPLUS (Synthetic preparation); TBU (Therapeutic use); RIOL (Biological
 study); PEP (Preparation); USES (Uses);
 ABS (Absolute stereochemistry);
 Method for producing benzyl
 (2S,3aS,7aS)-heptydroindoline-2-carboxylic acid (I), characterized by
 transdolapril
 via their racemic salts)
 ED 87679-37-4P MCAPLUS
 CH 1H-Indole-2-carboxylic acid, 1-[(2S)-1-(ethoxycarbonyl)-3-
 phenylpropyl]amino-1-oxopropyl)octahydro-, (2S,3aS,7aS)- (CA INDEX
 NAMES)

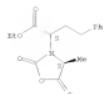
L10 ANSWER 7 OF 9 MCAPLUS COPYRIGHT 2008 ACS on STN
 ED ANSWER STN: 06 Aug 2004
 ABS (Absolute stereochemistry);
 Method for producing benzyl
 (2S,3aS,7aS)-heptydroindoline-2-carboxylic acid (I), characterized by
 heating
 A racemic mixture consisting of
 (2S,3aS,7aS)-heptydroindoline-2-carboxylic
 acid (II) and (2S,3aS,7aS)-heptydroindoline-2-carboxylic acid (III),
 heated in benzyl alcohol and 10-camphorsulfonic acid in a coning
 solvent to convert the racemic mixture to benzyl esters, subjecting the
 diastereomeric salts of the benzyl esters with the optically active
 (2S)-1-phenylpropylamine to form the corresponding salt, and then using
 the resulting salt in the resolution system to optical resolution based on a difference in solubility in an
 organic solvent, and then treating one of the isomers with a base. This process
 can simultaneously carry out esterification of a mixture of racemic II
 and III with benzyl alc. and optical resolution in one step in high yield,
 shortens the existing process by two steps and is industrially
 convenient. (2S,3aS,7aS)-Heptydroindoline-2-carboxylic acid (II) and
 (2S,3aS,7aS)-heptydroindoline-2-carboxylic acid (III) 97.57 g were added to
 toluene and dissolved with a stir bar and heat in a water bath at 60°C,
 refluxed with stirring and adding a quantity of water,
 distilled under reduced pressure to remove the solvent (approx 550 mL) and treated
 with 800 mL tert-Bu Me ether at approx 60° with stirring. The
 precipitated crystals were collected by filtration, successively washed
 with toluene and tert-Bu Me ether, dried to give a crude crystalline
 diastereomer I-IV salt (189.5 g) which was recrystallized, twice from toluene to give the
 diastereomer I-IV salt (63.5 g) which was added to a mixture of 355 mL
 tert-Bu Me ether and 63 mL H2O, treated dropwise with 130 mL 10.6%
 aqueous NaCO3 solution, stirred for 10 min to give, after workup, 37.2 g I
 (64.0% from the racemate).
 ACCESSION NUMBER: 2004-110314 MCAPLUS
 DOCUMENT NUMBER: 2004-110314
 TITLE: Process for producing intermediate for transdolapril
 by
 esterification of racemic
 (2S,3aS,7aS)-heptydroindoline-2-carboxylic acid with
 (2S)-1-phenylpropylamine and optical resolution
 INVENTOR(S): Shimamura, Hiroshi; Nakata, Yoshitaka
 PATENT ASSIGNEE(S): Ghrax Chemical Industries, Ltd., Japan
 DOCUMENT DATE: 2004-08-06
 COPIES: FIVE
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	ITEM	DATE	APPLICATION NO.	DATE
WO 2004055368	A1	20040805	WO 2004-JV374	2004-0119
W1 AR, AG2, Al, AM, AT, AD, AZ, BA, BB, BG, BR, BY, BY, CA, CH, CN, CO, CR, CU, DE, DK, DM, DO, DZ, ES, ES, ES, FI, GB, GU, GR, GR,				

L10 ANNUAL T OF 9 MCPPLUS COPYRIGHT 2008 ACS on STN (Continued)
 L10, LR, LS, LT, LD, LV, MA, MD, MG, MH, MU, MG, ME
 PRIORITY APPLN. INFO.: JP 2002-11889 A 20030121

CITED SOURCE(S): CASREACT 141:14013
 IT 84793-24-8 87679-58-1
 EL: RCT (Reactant); RACT (Reactant or reagent)
 [preparation of optically active beryl]
 [1-(2S,3aS,7aR)-heptylindolininecarboxylic acid and optical
 resolution of racemic 1-(2S,3aS,7aR)-heptylindolininecarboxylic acid and optical
 resolution
 same compound] (acid)
 RR 84793-24-8 87679-58-1
 CH 2-Oxazolidinethione carboxylic acids; 4-methyl-2,5-dioxo- α -(2-phenylethyl)-,
 ethyl ester, (2S,3aS,7aR)- (CA INDEX NAME)

Absolute stereochemistry.



J22 87679-37-6 MCPPLUS
 CH 1B-Indole-3-Carboxylic acid, octahydro-, (2S,3aS,7aR)-rel- (CA INDEX NAME)

Relative stereochemistry.



IT 87679-37-6P, Trandolapril
 EL: RCT (Synthetic preparation); PRP (Preparation)
 [1-(2S,3aS,7aR)-heptylindolininecarboxylate as intermediate for
 trandolapril by esterification of racemic
 (2S,3aS,7aR)-heptylindolininecarboxylic acid and optical
 resolution]

L10 ANNUAL T OF 9 MCPPLUS COPYRIGHT 2008 ACS on STN
 ED Entered STN 03 Apr 2003
 AB This application is directed to methods for producing angiotensin converting enzyme inhibitors (S)-PhC(Me)CH2C(O)S(=O)(=O)Ala-N (NEPA-8) and pharmaceutically-acceptable salts via deprotection of carboxy group-protected derivatives. In addition, new compounds are obtained which yield with minimal hydrolysis form the (S)-NEPA-8-OMe, prepared by conjugating NEPA-8-OMe with H- β -Pro-OMe and stirred with isopropanol at room temperature and treated with nafamic acid to afford

87.1 enalapril maleate.
 ACCESSION NUMBER: 2003-255129 MCPPLUS
 DOCUMENT NUMBER: 1B-Indole-3-Carboxylic acid, octahydro-, (2S,3aS,7aR)-rel-
 TITLE: Method for producing enalapril and related
 angiotensin converting enzyme inhibitors
 INVENTOR(S): Tian, Meng-Dong; Liu, Yu-Liang
 PATENT ASSIGNEE(S): Everlytics USA, Inc., USA
 DOCUMENT NUMBER: US 7,294,900
 CDDSN: US2003
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. MN: COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6,541,635	SL	20030401	US 2002-178369	20030625

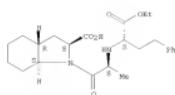
PRIORITY APPLN. INFO.: TW 2002-91106399 A 20020329

CITED SOURCE(S): CASREACT 138:27197
 IT 84793-24-8 87679-58-1
 EL: IMP (Industrial manufacture); RPP (Synthetic preparation); PRP (Preparation)
 [preparation of enalapril and related angiotensin converting enzyme
 inhibitors via deprotection of silyl ester]
 RR 84793-24-8 87679-58-1
 CH 1B-Indole-3-Carboxylic acid, 3-[(2S)-2-[(1S)-3-(ethoxycarbonyl)-3-
 phenylpropyl]amino]-1-oxaprolyl]octahydro-, (2S,3aS,7aR)- (CA INDEX NAME)

Absolute stereochemistry.

L10 ANNUAL T OF 9 MCPPLUS COPYRIGHT 2008 ACS on STN (Continued)
 using camphorosulfonic acid)
 RR 87679-37-6 MCPPLUS
 CH 1B-Indole-3-Carboxylic acid, 1-[(2S)-2-[(1S)-3-(ethoxycarbonyl)-3-
 phenylpropyl]amino]-1-oxaprolyl]octahydro-, (2S,3aS,7aR)- (CA INDEX
 NAME)

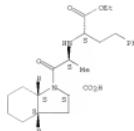
Absolute stereochemistry. Rotation (-).



REFERENCE COUNT:
 THIS FORMAT

31 THREE ARE 31 CITED REFERENCES AVAILABLE FOR
 RECORD. ALL CITATIONS AVAILABLE IN THE RE

L10 ANNUAL T OF 9 MCPPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 80875-98-5 84793-24-8
 EL: RCT (Reactant); RACT (Reactant or reagent)
 [1-(2S,3aS,7aR)-heptylindolininecarboxylic acid and related angiotensin converting enzyme
 inhibitors via deprotection of silyl ester]
 RR 80875-98-5 MCPPLUS

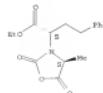
CH 1B-Indole-3-Carboxylic acid, octahydro-, (2S,3aS,7aR)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RR 84793-24-8 MCPPLUS
 CH 1B-Indole-3-Carboxylic acid, 4-methyl-2,5-dioxo- α -(2-phenylethyl)-,
 ethyl ester, (2S,4S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:
 THIS FORMAT

3 THREE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE

